

(19) World Intellectual Property
Organization
International Bureau



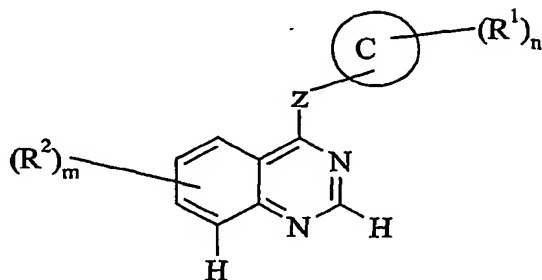
(43) International Publication Date
17 February 2005 (17.02.2005)

PCT

(10) International Publication Number
WO 2005/014582 A1

- (51) International Patent Classification⁷: **C07D 401/14**, 403/14, 403/10, A61K 31/517
- (74) Agent: **ASTRAZENECA**; Global Intellectual Property, S-151 85 Sodertalje (SE).
- (21) International Application Number:
PCT/GB2004/003376
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (22) International Filing Date: 5 August 2004 (05.08.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:
0318422.3 6 August 2003 (06.08.2003) GB
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- (71) Applicant (for all designated States except MG, US): **ASTRAZENECA AB** [SE/SE]; Sodertalje, S-151 85 (SE).
- (71) Applicant (for MG only): **ASTRAZENECA UK LIMITED** [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): **HENNEQUIN, Laurent, Francois, Andre** [FR/FR]; AstraZeneca Pharma, Z.I. la Pompelle, BP 1050, F-51689 Reims (FR).
- Published:
— with international search report
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **QUINAZOLINE DERIVATIVES AS ANGIOGENESIS INHIBITORS**



(I)

(57) Abstract: The present invention relates to compounds of the Formula (I): wherein: ring C is an 8, 9, 10, 12 or 13-membered bicyclic or tricyclic moiety which moiety may be saturated or unsaturated, which may be aromatic or non-aromatic, and which optionally may contain 1-3 heteroatoms selected independently from O, N and S; is -O- -NH- or -S-; is 0, 1, 2, 3, 4 or 5; is 0, 1, 2 or 3; and R² and R¹ are as defined herein; and salts thereof; their use in the manufacture of a medicament for use in the production of an antiangiogenic and/or vascular permeability reducing effect in warm blooded animals; processes for the preparation of such compounds; pharmaceutical compositions containing a compound of Formula (I) or a pharmaceutically acceptable salt thereof and methods of treating disease states involving angiogenesis by administering a compound of formula I or a pharmaceutically acceptable salt thereof. The compounds of Formula (I) inhibit the effects of VEGF, a property of value in the treatment of a number of disease states including cancer and rheumatoid arthritis.